AB copies.

38. (New) An auxiliary compound according to General Formula IV as defined in claim 13.

REMARKS

I. Nationalization

This application represents the U.S. national stage of International Patent Application PCT/AU99/00812, filed September 24, 1999, which claims priority to Australian Patent Application PP 6165, filed September 25, 1998.

As the text of the International Application was transmitted by the International Bureau, an additional copy is not required to satisfy 35 U.S.C. § 371(c)(2). Nonetheless, for the Examiner's convenience, a copy of international application PCT/AU99/00812 is enclosed in the form of the published PCT Application WO 00/18789.

Although clarifying amendments were made to the claims 1 and 14 and to page 13 of the specification during PCT examination, these amendments were entered by the examiner and therefore do not constitute new matter. The amendments are also reflected in the IPER, which is completely positive for all claims, have been transmitted to designated offices and are therefore not reproduced herein.

The claims at the end of the PCT stage, which the IPER indicates to be novel and inventive, therefore form the basis for the present claim amendments, which are of a procedural nature only and place the claims in proper form for entry into the U.S. national stage.

Should formal amendments to the specification be necessary to conform to U.S. practice, Applicants seek to introduce such amendments into the present specification by, *e.g.*, deleting the PCT cover page, providing the Abstract as a separate page, and deleting the PCT header. The amended abstract included herewith complies with the new rules, as it is less than 200 words.

Priority is also properly claimed by an amendment at page 1.

II. National Stage Claims

After according a U.S. filing date, and **before** calculating the filing fee, entry of the foregoing claim amendments and additional claims is respectfully requested.

The changes to the claims are being made solely to conform to U.S. practice and to correct minor typographical errors. The revised and new claims are all fully supported by the specification and claims of the international application and do not in any way constitute new matter.

III. Status of the Claims

At the conclusion of the PCT examination phase, claims 1-34 were pending (see IPER as well as PCT publication, both enclosed). The IPER finds each of claims 1-34 to have unity of invention, and is completely favorable regarding the novelty, inventive step and industrial applicability of all claims.

Presently, no claims have been canceled. Claims 1, 3, 5-7, 9, 11, 16, 17, 19-22, 24, 26, 29, 30, 32 and 34 have been amended to remove multiple dependencies for U.S. practice and to correct very minor typographical oversights. Claims 35-38 have been added, which are fully supported by the original specification. Claims 1-38 are therefore in the case.

IV. Support for the Claims

Aside from removing the multiple dependencies throughout, and introducing very minor corrections, current claims 1-34 represent those at the conclusion of PCT examination essentially in unamended form.

Most of the changes to the revised claims simply remove the multiple dependencies, and such changes are clearly supported by each claim itself. In addition, claim 1 has been revised to

remove an inadvertent period after step (c) and claim 16 has been revised to remove an inadvertent space after R^4.

New dependent method claim 35 is supported by original claims 15 and 16. New claims 36, 37 and 38 reflect certain preferred auxiliary compounds of the overall invention, as supported throughout the original application and by claims 32 and 6, 12 and 13, respectively.

It will therefore be understood that no new matter is encompassed by any of the amended or newly presented claims.

V. Compliance with 37 C.F.R. § 1.121

Copies of the pending claims are attached hereto as **Exhibit A** and **Exhibit B**. In accordance with 37 C.F.R. § 1.121, the claims have been labeled as "(Amended") or "(New)", where appropriate. **Exhibit A** provides a clean copy of the pending claims, whereas **Exhibit B** shows the changes with brackets and underlining.

The proper claim for priority has been timely introduced into the specification by amendment of the opening paragraph at page 1. An Abstract of less than 200 words is also introduced into the specification by amendment as a separate page.

The amendments to the opening paragraph at page 1 of the specification and the abstract have been made as "Replacement Sections" in accordance with 37 C.F.R. §§ 1.121(b)(2), 1.77(b)(2) and 1.77(b)(10). This is proper under 37 C.F.R. §§ 1.121(b)(2)(i)(ii)(iii), as the specification contains section headings as provided in 37 C.F.R. § 1.77, and the amendments include the reference, replacement section in clean form and another version of the replacement section separate from the amendment marked up to show all changes (**Exhibit C**).

VI. Fees and Formalities

The national filing fee and claim fees are included herewith. The fees have been calculated **after** the present changes to remove the multiple dependencies throughout the claims. Any omitted fees should be deducted from Williams, Morgan & Amerson Deposit Account No. 50-0786/4050.001100.

Applicants are entitled to small entity status. An executed declaration to this effect is no longer required by the rules of practice.

VII. Conclusion

Importantly, the IPER issued for the international application holds that all claims meet the requirements for industrial applicability, novelty and inventive step. This is compelling evidence that the present claims have utility and define a novel and non-obvious invention that should be progressed to allowance in the United States.

In light of the positive IPER, Applicants submit that the present case is in condition for allowance and such favorable action is respectfully requested. Should the Examiner have any questions or comments, a telephone call to the undersigned Applicants' representative is earnestly solicited.

Respectfully submitted,

Shelley P.M. Fussey
Reg. No. 39,458
Agent for Applicant

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(713) 934-4079

Date: March 22, 2001

EXHIBIT A - PENDING CLAIMS

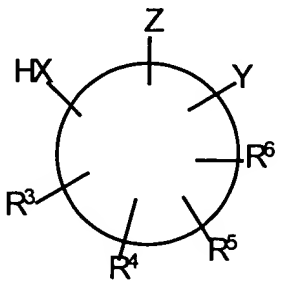
THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. (Amended) A method of

a) synthesis of a linear or cyclic peptide,

b) synthesis of a C-terminal modified peptide, or

c) on-resin cyclisation of a peptide molecule, comprising the step of linking a cyclic aromatic or alkyl auxiliary compound of General Formula I to an amine nitrogen atom



I

in which the ring optionally comprises one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulphur;

is of 5 to 7 atoms;

comprises 3 carbon atoms substituted respectively by XH, Z, and Y; and

is additionally substituted by groups R^3 and R^4 when the compound is a 5-membered ring, or is additionally substituted by groups R^3, R^4, and R^5 when the compound is a 6-membered ring, or is additionally substituted by groups R^3, R^4, R^5 and R^6 when the compound is a 7-membered ring, in which

X is oxygen, sulphur, CH_2O-, or CH_2S-;

Y is an electron-withdrawing group;

Z is any group which allows the formation of a covalent carbon-nitrogen bond; and

R^3, R^4 and R^5 are each independently hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, alkoxy, aryloxy, XH or Y, or a covalent linkage to a solid support, and

in which R^3 and R^4, R^4 and R^5, or R^5 and R^6 can optionally together with the ring form a 5-, 6-, or 7-membered ring, thereby to facilitate conversion of the amine to an amide.

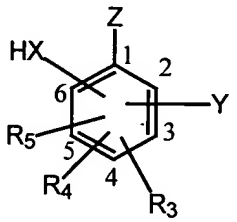
2. A method according to claim 1, in which Y is nitro, ketone, carboxylic ester, amide, nitrile, sulfonamide, sulfoxide, sulfone, sulfonate, fluoride, chloride, bromide or iodide.

3. (Amended) A method according to claim 1, in which Z is an aldehyde, alkylalcohol, alkylhalide, or a ketone, or is a halogenated C_{1-3}alkyl group.

4. A method according to claim 3, in which the halogenated alkyl group is a methyl group.

5. (Amended) A method according to claim 4, in which the halogen is iodine, bromine or chlorine.

6. (Amended) A method according to claim 1, in which the auxiliary compound is of general Formula II



II.

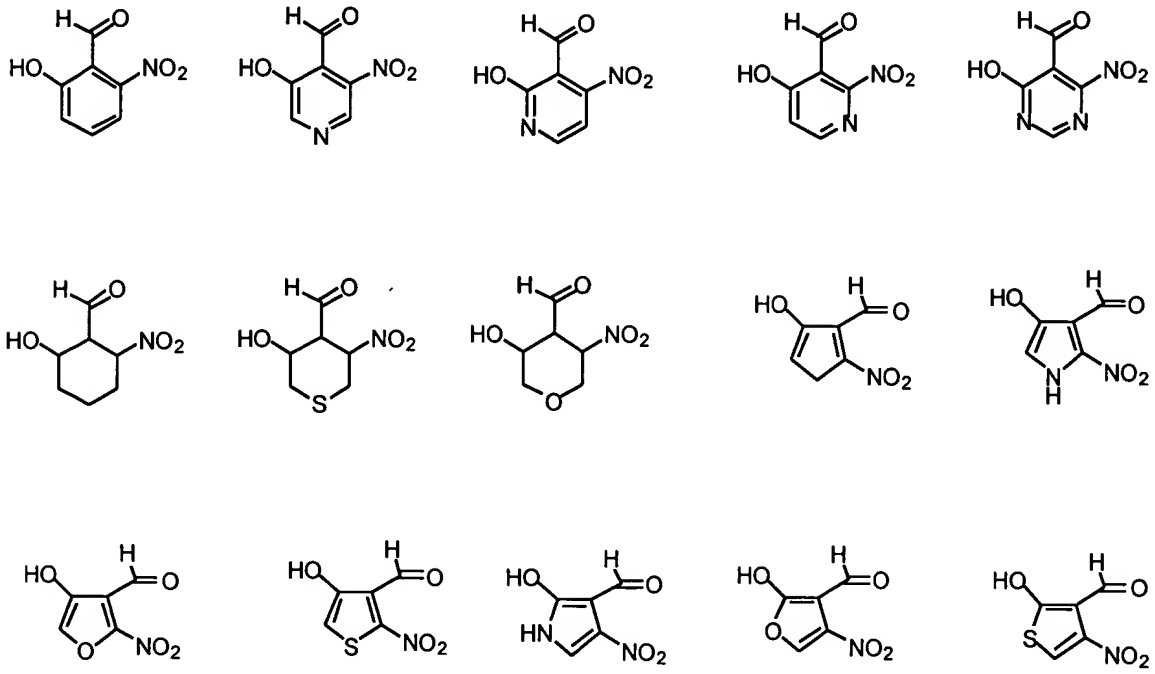
7. (Amended) A method according to claim 1, in which the XH group is at position 2 or 3 in General Formula I or General Formula II, and Y is at any other position.

8. A method according to claim 7, in which the XH group is at position 2.

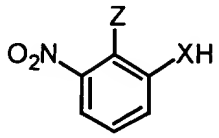
9. (Amended) A method according to claim 7, in which Y is at position 6.

10. A method according to claim 9, in which Y is NO_2.

11. (Amended) A method according to claim 1, in which the auxiliary compound is selected from the group consisting of



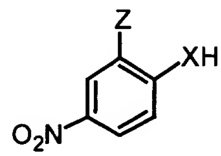
12. A method according to claim 1 for synthesis of a cyclic peptide, a large peptide, or a difficult peptide, in which the auxiliary compound is of General Formula III



III

and the auxiliary compound is removed by photolysis following amide bond formation.

13. A method according to claim 1 for synthesis of a cyclic peptide, a large peptide, or a difficult peptide containing one or more substituted amide bonds, in which the auxiliary compound is not removed, and the auxiliary compound is of General Formula IV



IV

14. A method of

a) synthesis of a compound selected from the group consisting of linear and cyclic peptides, large peptides with a native peptide backbone, and "difficult" peptide sequences,

b) backbone linkage for the synthesis of peptides, C-terminal modified peptides, or

c) on-resin cyclisation,

comprising the step of linking a cyclic auxiliary compound of General Formula I, General Formula II, General Formula III, or General Formula IV to an amine nitrogen atom, thereby to facilitate conversion of the amine to an amide.

15. A method according to claim 14, in which XH in General Formula III is at position 2, and Y is NO_2 at position 6.

16. (Amended) A method according to claim 1, in which R^3, R^4, R^5 and R^6 are independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, and a covalent linkage to a solid support.

17. (Amended) A method of synthesis of a cyclic peptide, comprising the steps of

a) synthesising a linear peptide to be cyclised,

b) linking an auxiliary compound as defined in claim 1 to a desired primary amine of the linear peptide,

c) activating a desired carboxylic acid to effect cyclisation, and where necessary inducing ring contraction, and optionally

d) removing the auxiliary compound after complete N acylation.

18. A method according to claim 17, in which ring contraction is induced by heating or by addition of a metal.

19. (Amended) A method according to claim 17, in which the auxiliary compound is of General Formula III, and the auxiliary compound is removed by photolysis.

20. (Amended) A method according to claim 17, in which steps a) to d) are performed on a solid support, and are followed by cleavage of the cyclic product from the solid support, and if desired, removal of side chain protecting groups.

21. (Amended) A method according to claim 17, in which activation of the C-terminal carboxylic acid is performed in the presence of an auxiliary compound of General Formula III, and the cyclisation is performed by attaching the auxiliary compound to the desired amine via the Z-group.

22. (Amended) A method of synthesis of a large peptide with a native peptide backbone, comprising the steps of

a) synthesising a set of peptide fragments to be linked to form a large peptide,

b) linking an auxiliary compound as defined in claim 1 to the primary amine of the first peptide fragment,

c) activating the carboxylic acid of the second peptide fragment,

d) adding the second peptide fragment to the first peptide fragment and forming a peptide bond between the two fragments, and optionally

e) removing the auxiliary compound after N acylation is complete.

23. A method according to claim 21, in which the auxiliary compound is of General Formula IV, and the auxiliary compound is removed by photolysis.

24. (Amended) A method of synthesis of a difficult peptide sequence, comprising the steps of

a) linking an auxiliary compound as defined in claim 1 to one or more nitrogen atoms in peptide bonds of a peptide linked to a solid support,

b) synthesising the complete peptide using standard solid phase synthesis methods, and optionally

c) when synthesis is complete, removing the auxiliary compound.

25. A method according to claim 24, in which the auxiliary compound is of General Formula III, and the auxiliary compound is removed by photolysis.

26. (Amended) A method of backbone linkage for synthesis of a linear peptide, comprising the steps of

a) using an auxiliary compound as defined in claim 1 as a linker linking the α-nitrogen of an acid residue in the desired peptide to a solid support,

b) assembling the linear peptide using standard solid phase peptide synthesis methods, and optionally

c) removing the side chain protecting group(s), and/or

d) cleaving the peptide from the solid support.

27. A method according to claim 26, in which the carboxylic acid group of the C-terminal amino acid residue is replaced by a functional group.

28. A method according to claim 26, in which the carboxylic acid group of the C-terminal amino acid residue is replaced by an ester, alkylalcohol, acetal or amide group.

29. (Amended) A method according to claim 26, in which Y is nitro in position 6, XH is in position 2, and cleavage is performed by photolysis.

30. (Amended) A method of on-resin cyclisation of a linear peptide, comprising the steps of

a) using an auxiliary compound as defined in claim 1 as a linker linking the α-nitrogen of an amino acid residue in the desired peptide to a solid support,

b) synthesising a linear peptide on a solid support, using standard solid phase peptide synthesis methods,

c) deprotecting the desired amine and carboxylic acid groups,

d) activating the carboxylic acid group to perform cyclisation, and optionally

e) deprotecting amino acid side chain groups, and/or

f) cleaving the cyclic peptide from the solid support.

31. A method according to claim 30, in which Y is a nitro group in position 6, XH is in position 2, and cleavage is performed by photolysis.

32. (Amended) An auxiliary compound according to the General Formula as defined in claim 1, linked to a support suitable for solid phase peptide synthesis.

33. An auxiliary compound linked to a support, as defined in claim 32, in which the support is selected from the group consisting of functionalised polystyrene resins, tentagel resins, and polyethyleneglycol resins.

34. (Amended) A kit for use in synthesis of a peptide, cyclic peptide, comprising:

a) an auxiliary compound as defined in claim 1, or

b) an auxiliary compound as defined in claim 1, linked to a solid support, together with one or more reagents for solid phase peptide synthesis.

35. (New) A method according to claim 15, in which R^3, R^4 , R^5 and R^6 are independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, and a covalent linkage to a solid support.

36. (New) An auxiliary compound according to General Formula II as defined in claim 6.

37. (New) An auxiliary compound according to General Formula III as defined in claim 12.

38. (New) An auxiliary compound according to General Formula IV as defined in claim 13.

EXHIBIT B - SHOWS ORIGIN OF CLAIMS

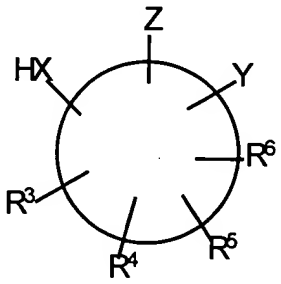
THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. (Amended) A method of

a) synthesis of a linear or cyclic peptide,

b) synthesis of a C-terminal modified peptide, or

c) on-resin cyclisation of a peptide molecule, comprising the step of linking a cyclic aromatic or alkyl auxiliary compound of General Formula I to an amine nitrogen atom[.]



I

in which the ring optionally comprises one or more heteroatoms selected from the group consisting of nitrogen, oxygen, and sulphur;

is of 5 to 7 atoms;

comprises 3 carbon atoms substituted respectively by XH, Z, and Y; and

is additionally substituted by groups R^3 and R^4 when the compound is a 5-membered ring, or is additionally substituted by groups R^3, R^4, and R^5 when the compound is a 6-membered ring, or is additionally substituted by groups R^3, R^4, R^5 and R^6 when the compound is a 7-membered ring, in which

X is oxygen, sulphur, CH_2O-, or CH_2S-;

Y is an electron-withdrawing group;

Z is any group which allows the formation of a covalent carbon-nitrogen bond; and

R^3, R^4 and R^5 are each independently hydrogen, alkyl, substituted alkyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroaryl, substituted heteroaryl, alkoxy, aryloxy, XH or Y, or a covalent linkage to a solid support, and

in which R^3 and R^4, R^4 and R^5, or R^5 and R^6 can optionally together with the ring form a 5-, 6-, or 7-membered ring, thereby to facilitate conversion of the amine to an amide.

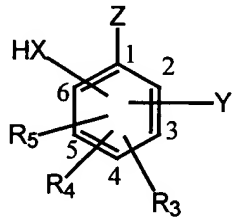
2. A method according to claim 1, in which Y is nitro, ketone, carboxylic ester, amide, nitrile, sulfonamide, sulfoxide, sulfone, sulfonate, fluoride, chloride, bromide or iodide.

3. (Amended) A method according to claim 1 [or claim 2], in which Z is an aldehyde, alkylalcohol, alkylhalide, or a ketone, or is a halogenated C_{1-3}alkyl group.

4. A method according to claim 3, in which the halogenated alkyl group is a methyl group.

5. (Amended) A method according to [claim 3 or] claim 4, in which the halogen is iodine, bromine or chlorine.

6. (Amended) A method according to [any one of Claims 1 to 5] claim 1, in which the auxiliary compound is of general Formula II



II.

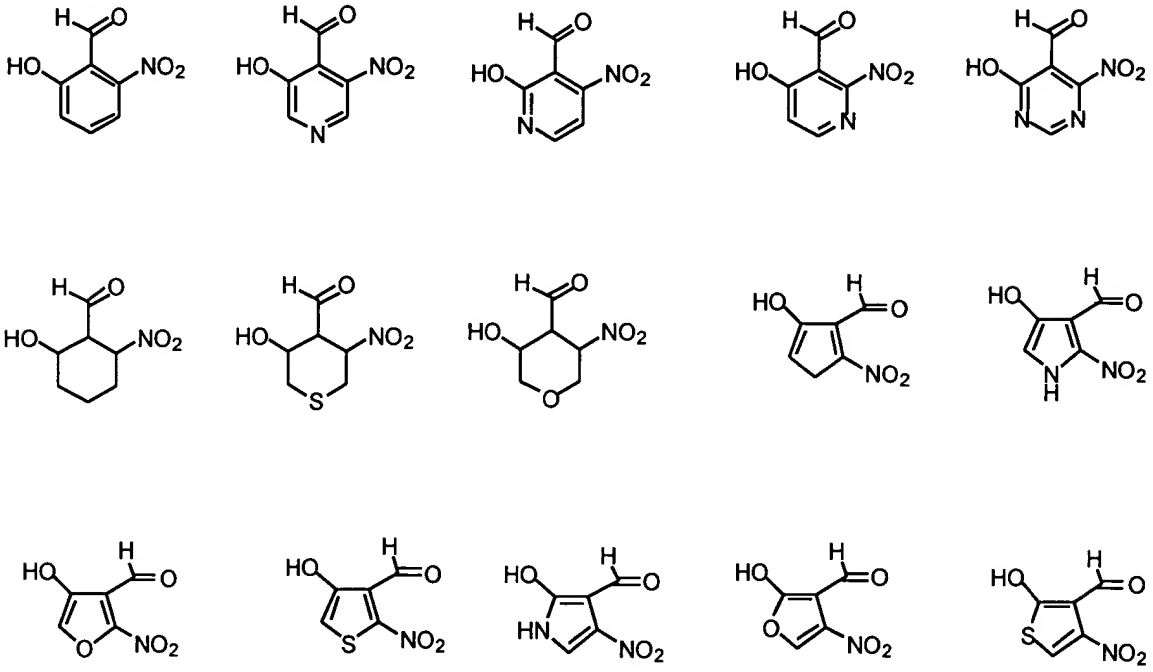
7. (Amended) A method according to [any one of claims 1 to 6] claim 1, in which the XH group is at position 2 or 3 in General Formula I or General Formula II, and Y is at any other position.

8. A method according to claim 7, in which the XH group is at position 2.

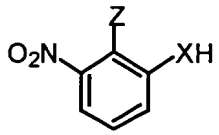
9. (Amended) A method according to [any one of claims 1 to 8] claim 7, in which Y is at position 6.

10. A method according to claim 9, in which Y is NO_2.

11. (Amended) A method according to [any one of claims 1 to 4] claim 1, in which the auxiliary compound is selected from the group consisting of



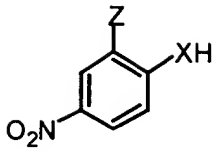
12. A method according to claim 1 for synthesis of a cyclic peptide, a large peptide, or a difficult peptide, in which the auxiliary compound is of General Formula III



III

and the auxiliary compound is removed by photolysis following amide bond formation.

13. A method according to claim 1 for synthesis of a cyclic peptide, a large peptide, or a difficult peptide containing one or more substituted amide bonds, in which the auxiliary compound is not removed, and the auxiliary compound is of General Formula IV



IV

14. A method of

a) synthesis of a compound selected from the group consisting of linear and cyclic peptides, large peptides with a native peptide backbone, and "difficult" peptide sequences,

b) backbone linkage for the synthesis of peptides, C-terminal modified peptides, or

c) on-resin cyclisation,

comprising the step of linking a cyclic auxiliary compound of General Formula I, General Formula II, General Formula III, or General Formula IV to an amine nitrogen atom, thereby to facilitate conversion of the amine to an amide.

15. A method according to claim 14, in which XH in General Formula III is at position 2, and Y is NO_2 at position 6.

16. (Amended) A method according to claim 1 [or claim 15], in which R^3, R^4[], R^5 and R^6 are independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, and a covalent linkage to a solid support.

17. (Amended) A method of synthesis of a cyclic peptide, comprising the steps of

a) synthesising a linear peptide to be cyclised,

b) linking an auxiliary compound as defined in [any one of claims 1 to 11] <u>claim 1</u> to a desired primary amine of the linear peptide,

c) activating a desired carboxylic acid to effect cyclisation, and where necessary inducing ring contraction, and optionally

d) removing the auxiliary compound after complete N-acylation.

18. A method according to claim 17, in which ring contraction is induced by heating or by addition of a metal.

19. (Amended) A method according to claim 17 [or claim 18], in which the auxiliary compound is of General Formula III, and the auxiliary compound is removed by photolysis.

20. (Amended) A method according to [any one of claims 17 to 19] claim 17, in which steps a) to d) are performed on a solid support, and are followed by cleavage of the cyclic product from the solid support, and if desired, removal of side chain protecting groups.

21. (Amended) A method according to [any one of claims 17 to 19] claim 17, in which activation of the C-terminal carboxylic acid is performed in the presence of an auxiliary compound of General Formula III, and the cyclisation is performed by attaching the auxiliary compound to the desired amine via the Z-group.

22. (Amended) A method of synthesis of a large peptide with a native peptide backbone, comprising the steps of

a) synthesising a set of peptide fragments to be linked to form a large peptide,

b) linking an auxiliary compound as defined in [any one of claims 1 to 11] claim 1 to the primary amine of the first peptide fragment,

c) activating the carboxylic acid of the second peptide fragment,

d) adding the second peptide fragment to the first peptide fragment and forming a peptide bond between the two fragments, and optionally

e) removing the auxiliary compound after N acylation is complete.

23. A method according to claim 21, in which the auxiliary compound is of General Formula IV, and the auxiliary compound is removed by photolysis.

24. (Amended) A method of synthesis of a difficult peptide sequence, comprising the steps of

a) linking an auxiliary compound as defined in [any one of claims 1 to 10] claim 1 to one or more nitrogen atoms in peptide bonds of a peptide linked to a solid support,

b) synthesising the complete peptide using standard solid phase synthesis methods, and optionally

c) when synthesis is complete, removing the auxiliary compound.

25. A method according to claim 24, in which the auxiliary compound is of General Formula III, and the auxiliary compound is removed by photolysis.

26. A method of backbone linkage for synthesis of a linear peptide, comprising the steps of

a) using an auxiliary compound as defined in [any one of claims 1 to 11] claim 1 as a linker linking the α-nitrogen of an acid residue in the desired peptide to a solid support,

b) assembling the linear peptide using standard solid phase peptide synthesis methods, and optionally

c) removing the side chain protecting group(s), and/or

d) cleaving the peptide from the solid support.

27. A method according to claim 26, in which the carboxylic acid group of the C-terminal amino acid residue is replaced by a functional group.

28. A method according to claim 26, in which the carboxylic acid group of the C-terminal amino acid residue is replaced by an ester, alkylalcohol, acetal or amide group.

29. (Amended) A method according to [any one of claims 26 to 28] claim 26, in which Y is nitro in position 6, XH is in position 2, and cleavage is performed by photolysis.

30. (Amended) A method of on-resin cyclisation of a linear peptide, comprising the steps of

a) using an auxiliary compound as defined in [any one of claims 1 to 11] claim 1 as a linker linking the α-nitrogen of an amino acid residue in the desired peptide to a solid support,

b) synthesising a linear peptide on a solid support, using standard solid phase peptide synthesis methods,

c) deprotecting the desired amine and carboxylic acid groups,

d) activating the carboxylic acid group to perform cyclisation, and optionally

e) deprotecting amino acid side chain groups, and/or

f) cleaving the cyclic peptide from the solid support.

31. A method according to claim 30, in which Y is a nitro group in position 6, XH is in position 2, and cleavage is performed by photolysis.

32. (Amended) An auxiliary compound according to [any one of General Formulae I, II, III or IV as respectively defined in claims 1, 12 and 13] the General Formula as defined in claim 1, linked to a support suitable for solid phase peptide synthesis.

33. An auxiliary compound linked to a support, as defined in claim 32, in which the support is selected from the group consisting of functionalised polystyrene resins, tentagel resins, and polyethyleneglycol resins.

34. (Amended) A kit for use in synthesis of a peptide, cyclic peptide, comprising:

a) an auxiliary compound as defined in [any one of claims 1 to 11] claim 1, or

b) an auxiliary compound as defined in [any one of claims 1 to 11] claim 1, linked to a solid support, together with one or more reagents for solid phase peptide synthesis.

35. (New) A method according to claim 15, in which R^3, R^4 , R^5 and R^6 are independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, and a covalent linkage to a solid support.

36. (New) An auxiliary compound according to General Formula II as defined in claim 6.

37. (New) An auxiliary compound according to General Formula III as defined in claim 12.

38. (New) An auxiliary compound according to General Formula IV as defined in claim 13.

EXHIBIT C
REPLACEMENT SECTIONS

In the Section of the Application pertaining to "Cross-reference to Related Applications", the deletions and additions are as shown:

The present application is a nationalization of International Patent Application PCT/AU99/00812, filed September 24, 1999, which claims priority to Australian Patent Application PP 6165, filed September 25, 1998.

FIELD OF THE INVENTION

This invention relates to novel auxiliaries for the formation of amide bonds, and to the use of these auxiliaries in a variety of synthetic applications. In particular, the auxiliaries of the invention are useful in the synthesis of peptides and peptidomimetic compounds, and in particular for the synthesis of "small cyclic peptides", so-called "difficult" peptide sequences, and large peptides with a native peptide backbone. The auxiliaries of the invention are also useful in the synthesis of peptides or of C-terminal modified peptides, and in on-resin cyclisation of organic molecules, ligating chemistry, backbone substitution and as backbone linkers. In a particularly preferred embodiment, the invention provides auxiliaries [which] that can be removed by photolysis.

In the Section of the Application pertaining to "Cross-reference to Related Applications", the final text is as follows:

The present application is a nationalization of International Patent Application PCT/AU99/00812, filed September 24, 1999, which claims priority to Australian Patent Application PP 6165, filed September 25, 1998.

<u>FIELD OF THE INVENTION</u>

This invention relates to novel auxiliaries for the formation of amide bonds, and to the use of these auxiliaries in a variety of synthetic applications. In particular, the auxiliaries of the invention are useful in the synthesis of peptides and peptidomimetic compounds, and in particular for the synthesis of "small cyclic peptides", so-called "difficult" peptide sequences, and large peptides with a native peptide backbone. The auxiliaries of the invention are also useful in the synthesis of peptides or of C-terminal modified peptides, and in on-resin cyclisation of organic molecules, ligating chemistry, backbone substitution and as backbone linkers. In a particularly preferred embodiment, the invention provides auxiliaries that can be removed by photolysis.

ABSTRACT

In the Section of the Application that forms the Abstract, the deletions and additions are as shown:

ABSTRACT

This invention relates to novel auxiliaries for the formation of amide bonds, and to the use of these auxiliaries in a variety of synthetic applications, such as the synthesis of peptides and peptidomimetic compounds, and in particular for the synthesis of "small cyclic peptides", so-called "difficult" peptide sequences, and large peptides with a native peptide backbone. The auxiliaries of the invention are also useful in the synthesis of peptides or of C-terminal modified peptides, and in on-resin cyclisation of organic molecules, ligating chemistry, backbone substitution and as backbone linkers. In a particularly preferred embodiment, the invention provides auxiliaries [which] that can be removed by photolysis. **JOIN** ¶

Methods of synthesis of a linear or cyclic peptide, a C-terminal modified peptide, or of on-resin cyclisation of a peptide molecule, comprising the step of linking an amine nitrogen atom to an auxiliary compound of the invention, specific auxiliary compounds, which may optionally be linked to a solid support, and kits for synthesis are disclosed and claimed.

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AUXILIARY FOR AMIDE BOND FORMATION

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